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487:00)Albert [US/US]; 11827 Glen Cove Drive, Indianapolis,  
IN 46060 (US). MALHOTRA, Sushant [IN/US]; 2431  
East 58th Street, Indianapolis, IN 46220 (US). MUNDLA,  
Sreenivasa, Reedy [US/US]; 14306 Autumnwoods Drive,  
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PCT/US2003/035969(74) Agents: SAYLES, Michael, J. et al.; ELI LILLY AND  
COMPANY, P. O. Box 6288, Indianapolis, IN 46206-6288  
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GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
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LILLY AND COMPANY [US/US]; Lilly Corporate  
Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

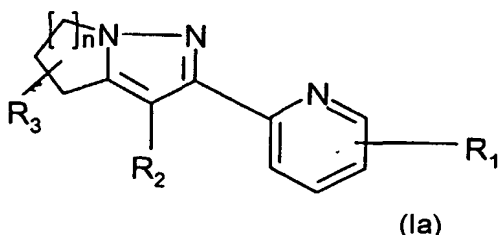
(75) Inventors/Applicants (*for US only*): BEIGHT, Douglas,  
Wade [US/US]; 3468 South County Road, 600 West,  
Frankfort, IN 46041 (US). BURKHOLDER, Timothy,  
Paul [US/US]; 13904 Springmill Ponds Circle, Carmel, IN  
46032 (US). DECOLLO, Todd, Vincent [US/US]; 10528  
Redcliff Drive, Noblesville, IN 46060 (US). GODFREY,  
Alexander, Glenn [US/US]; 6601 Woodland court,  
Mooreville, IN 46158 (US). HEAP, Charles, Raymond  
[US/US]; 4666 Route 150, West Sand Lake, NY 12196  
(US). KING, Chi-Hsin, Richard [US/US]; 709 Wasen-  
tha Way, Slingerlands, NY 12159 (US). LI, Hong-Yu  
[CN/US]; 1268 Sullivans Ridge, Zionsville, IN 46077  
(US). MCMILLEN, William, Thomas [US/US]; 5604  
Peppereel Way, McCordsville, IN 46055 (US). SAWYER,  
Jason, Scott [US/US]; 5718 North Winthrop Avenue,  
Indianapolis, IN 46220 (US). WANG, Yan [CN/US];  
11456 Senie Lane, Carmel, IN 46032 (US). DIEFEN-  
BACHER, Clive, Gideon [US/US]; 1129 Sugar Maple  
Drive, Greenwood, IN 46143 (US). ENGLER, Thomas,(84) Designated States (*regional*): ARIPO patent (BW, GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,  
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,  
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## Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,  
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,  
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,  
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,  
MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
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ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD,  
SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG,

[Continued on next page]

(54) Title: NOVEL COMPOUNDS AS PHARMACEUTICAL AGENTS

(57) Abstract: The current invention relates to compounds of the for-  
mula: (Ia) and the pharmaceutically acceptable salts thereof and their  
use as TGF-beta signal transduction inhibitors for treating cancer and  
other diseases in a patient in need thereof by administration of said com-  
pounds.



CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
- of inventorship (Rule 4.17(iv)) for US only

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

# INTERNATIONAL SEARCH REPORT

National Application No  
PCT/US 03/35969

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D487/04 A61K31/4162 A61P35/00 C07D519/00  
 //(C07D487/04, 231:00, 209:00), (C07D519/00, 487:00, 471:00),  
 (C07D519/00, 498:00, 487:00), (C07D519/00, 487:00, 487:00),

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 02 062794 A (GLAXO) 15 August 2002 (2002-08-15) claims 1,10	1,10
A	WO 02 062787 A (GLAXO) 15 August 2002 (2002-08-15) claims 1,12	1,10
A	WO 02 066462 A (GLAXO ) 29 August 2002 (2002-08-29) claims 1,10	1,10
P,A	WO 02 094833 A (ELI LILLY) 28 November 2002 (2002-11-28) cited in the application claims 1,9	1,10

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
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- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

5 April 2004

Date of mailing of the international search report

20/04/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3016

Authorized officer

Alfaro Faus, I

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/35969

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 (C07D519/00, 471:00, 471:00)

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.

☐ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \* & \* document member of the same patent family

Date of the actual completion of the international search

5 April 2004

Date of mailing of the international search report

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel: (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Alfaro Faus, I

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US 03/35969

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 11 and 13 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compounds or compositions.
2. ☒ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

**FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210**

Continuation of Box I.2

The scope of claims 9 - 13, in as far as the expression "or prodrug thereof" is concerned, is so unclear (Article 6 PCT) that a meaningful International Search is impossible with regard to this expression.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/35969

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 02062794	A	15-08-2002	WO 02062794 A2 EP 1358187 A2	15-08-2002 05-11-2003
WO 02062787	A	15-08-2002	EP 1363904 A1 WO 02062787 A1	26-11-2003 15-08-2002
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